STN-Structure Search 9-12-05

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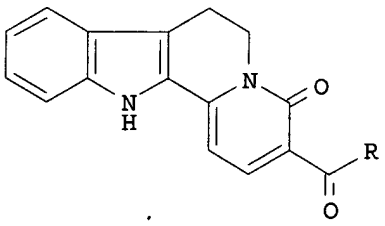
L8 ANSWER 1 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN

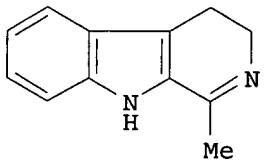
ACCESSION NUMBER: 2005:294517 CAPLUS
DOCUMENT NUMBER: 142:316990
TITLE: An improved process for the preparation of 3-substituted-4-oxo-6,7-dihydroindolo[2,3-a]quinolizine derivatives
INVENTOR(S): Giri, Venkatachalam Sesha; Jaisankar, Parasuraman; Manna, Ranjan Kumar
PATENT ASSIGNEE(S): Council of Scientific and Industrial Research, India
SOURCE: Indian, 17 pp.
CODEN: INXXAP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 185698	A	20010407	IN 1996-DE682	19960329

PRIORITY APPLN. INFO.: IN 1996-DE682 19960329
OTHER SOURCE(S): CASREACT 142:316990
GI



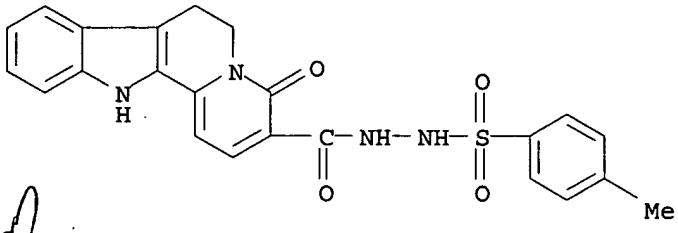
I



II

AB An improved process for the preparation of 3-substituted-4-oxo-6,7-dihydroindole(2,3-a)quinolizine derivs. I [R = H] comprises: reacting 1-methyl-3,4-dihydro-β-carboline (II) with di-Me (methoxymethylene)malonate, MeOCH:C(CO2Me)2, in an alc. at a temperature in the range of 0°C to 60°C for a time in the range of 8 to 24 h to give 3-carbomethoxy-4-oxo-6,7-dihydroindole(2,3-a)quinolizine [I; R = OMe], treating I (R = OMe) with hydrazine hydrate in DMF at a temperature in the range of 80 to 200°C for a period in the range of 2 to 6 h, recovering the solids in pyridine and treating with p-toluenesulphenyl chloride at a temperature in the range of 30 to 60°C for a period in the range of 2-6 h, recovering the 3-substituted-4-oxo-6,7-dihydroindole(2,3-a)quinolizine derivs. (tosylhydrazide) I [R = NHNHSO2C7H7] reacting I [R = NHNHSO2C7H7] with ethylene glycol, alkali carbonate and powdered glass at a temperature in the range of 150°C to 250°C for a period in the range of 10 min to 1 h, recovering the 3-substituted-4-oxo-6,7-dihydroindole(2,3-a)quinolizine derivs. I [R = H] and if desired purifying by conventional chromatog. methods.

IT **204315-77-5P**, N-(4-Oxodihydroindolo[2,3-a]quinolizine-3-carboxoyl)-N'-tosylhydrazide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



Amendment

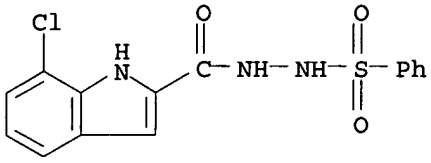
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:240749 CAPLUS
DOCUMENT NUMBER: 136:279204
TITLE: Preparation of heterocyclylcarbonyl derivatives of arylsulfonylhydrazides as branched chain amino acid-dependent aminotransferase inhibitors and their use in the treatment of neurodegenerative diseases
INVENTOR(S): Bora, Keenan Martin; Hu, Lain-Yen; Kesten, Suzanne Ross; Lei, Huanyshu; Moreland, David Winslow; Rafferty, Michael Francis; Ryder, Todd Robert; Scholten, Jeffrey David; Wustrow, David Juergen
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

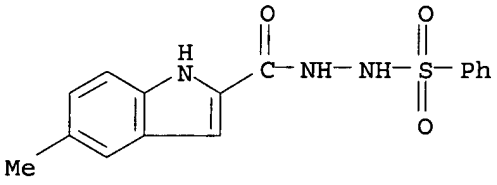
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024672	A2	20020328	WO 2001-US25892	20010817
WO 2002024672	A3	20030306		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2416136	AA	20020328	CA 2001-2416136	20010817
AU 2001085067	A5	20020402	AU 2001-85067	20010817
EP 1320523	A2	20030625	EP 2001-964182	20010817
EP 1320523	B1	20050622		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013974	A	20030701	BR 2001-13974	20010817
JP 2004509880	T2	20040402	JP 2002-529082	20010817
AT 298323	E	20050715	AT 2001-964182	20010817
US 2005004167	A1	20050106	US 2004-765002	20040126
PRIORITY APPLN. INFO.:			US 2000-233786P	P 20000919
			US 2001-381068	B1 20010101
			WO 2001-US25892	W 20010817

OTHER SOURCE(S): MARPAT 136:279204
GI

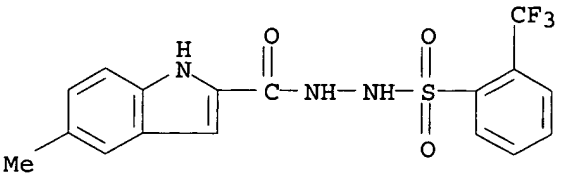
RN 406192-59-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 7-chloro-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



RN 406192-60-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



RN 406192-61-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-methyl-, 2-[[2-(trifluoromethyl)phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



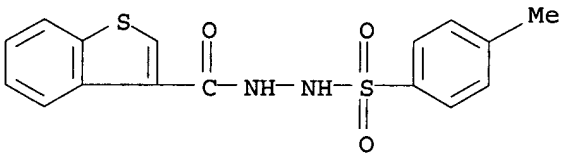
L8 ANSWER 5 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:128530 CAPLUS
DOCUMENT NUMBER: 128:217531
TITLE: Synthesis of the alkaloid nauclefidine
AUTHOR(S): Manna, Ranjan K.; Jaisankar, Parasuraman; Giri, Venkatachalam S.
CORPORATE SOURCE: Indian Institute of Chemical Biology, Calcutta, 700032, India
SOURCE: Synthetic Communications (1998), 28(1), 9-16
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:217531
GI

refluxed 3 hrs., the filtrate evaporated to dryness, the aqueous solution extracted with ether to remove BzOH, treated with 4 N iodine in KI, heated to boiling, and brought to pH 5 with NH4OH, give 88% crude disulfide, S(C6H4CH2CH(NH2)CO2H]2; reduction with Zn in 5 N HCl gives β-(p-mercaptophenyl)alanine, whose HCl salt (with 2 mols. H2O) decompose 222°. 3-Thianaphthenecarbonyl chloride (6.3 g.) in 30 cc. xylene, refluxed 4 hrs. with 3 g. 5% Pd-BaSO4 in a stream of H, gives 42.5% 3-thianaphthenecarboxaldehyde (XII), m. 54°. Me 3-thianaphthenecarboxylate (15 g.) and 9 cc. 90% N2H4.H2O in 37.5 cc. EtOH, refluxed 8 hrs., give 68% 3-thianaphthenecarboxyhydrazide, m. 176-7°; the p-tolylsulfonyl derivative (XIII), m. 201-3°, 94%; 3.1 g. XIII in 15 cc. (CH2OH)2 at 160°, treated with 0.96 g. anhydrous Na2CO3, gives 63% XII; 0.7 g. XII, 1 g. Na hippurate, and 2.5 cc. Ac2O, heated 30 min. at 100°, give 2-phenyl-4-(3-thianaphthenylmethylene)-5(4H)-oxazolone (XIV), yellow, m. 219-20°; 0.8 g. XIV, 0.1 cc. HI, 0.2 g. red P, and 4.5 cc. AcOH, refluxed 1 hr., give 87% of the N-Bz derivative, m. 226-8°, of β-(3-thianaphthenyl)alanine (XV), m. 248-50° (decomposition). None of the above-mentioned NH2 acids inhibited the growth of Staphylococcus aureus or Escherichia coli in broth up to the limit of their solubility Against Streptococcus hemolyticus in broth, XV inhibited growth at a dilution of 1 in 20,000; the other acids were very weakly active. VIA has a slight tuberculostatic action in vitro, but is less effective than (p-H2NC6H4)2SO2.

IT **860257-51-8**, Hydrazine, 1-(3-thianaphthenylcarbonyl)-2-p-tolylsulfonyl-
(preparation of)

RN 860257-51-8 CAPLUS

CN Hydrazine, 1-(3-thianaphthenylcarbonyl)-2-p-tolylsulfonyl- (5CI) (CA INDEX NAME)



L8 ANSWER 64 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1944:521 CAPLUS

DOCUMENT NUMBER: 38:521

ORIGINAL REFERENCE NO.: 38:104g-i,105a-f

TITLE: New therapeutic agents of the quinoline series. Introduction and IV. Lutidylquinolines

AUTHOR(S): Cook, A. H.; Heilbron, I. M.; Steger, L.

SOURCE: Journal of the Chemical Society, Abstracts (1943) 413-17
CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 38:521

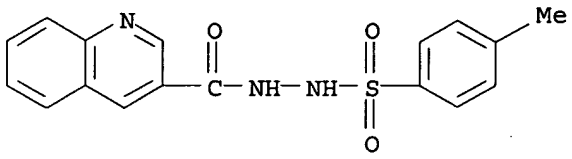
AB The 7 possible lutidyl compds. have been synthesized by independent methods. The 2 main routes were subjection of quinolinecarboxaldehydes to the Hantzsch synthesis and the preparation of lutidylanilines and their conversion into lutidylquinolines by the Skraup reaction. 2-Quinolinecarboxaldehyde (3 g.) and 5 g. MeC(NH2):CHCO2Et, heated for 3 h. on a steam bath, give 2.36 g. of Et 4-(2-quinolyl)-2,6-dimethyldihydro-3,5-pyridinedicarboxylate (I), m. 190°; prolonged heating apparently gives di-2-quinolylglyoxal, m. 159°. I (5 g.) and 40 cc. 2 N HNO3, heated to boiling for 15 min., give Et 4-(2-quinolyl)-2,6-

dimethyl-3,5-pyridinedicarboxylate (II), m. 91°; 1.5 g. of the ester, refluxed with 3.5 g. KOH in 10 cc. EtOH, the K salt transformed into the Ag salt and heated at 300-400°/15 min., gives 0.2 g. of 2-lutidylquinoline, m. 135° (picrate, m. 230° (decomposition)). Et 3-quinolinecarboxylate (17 g.) and 12-g. 50% $N_2H_4.H_2O$, refluxed 4 h., give 12.5 g. of 3-quinolinecarboxyhydrazide, m. 190°; p-tolylsulfonyl derivative (21-g. yield), m. 232° (decomposition); heating 20 g. with 20 g. Na_2CO_3 in 100 cc. $(CH_2OH)_2$ at 160° for 3 min. gives 3 g. of 3-quinolinecarboxaldehyde (III), m. 70°. III (1.8 g.), 3.24 g. $AcCH_2CO_2Et$ and 7 cc. 3% EtOH-NH_3, heated 7 h. at 100°, give 79% of the (3-quinolyl) isomer of I, m. 193°; 2 N HNO_3 gives the (3-quinolyl) isomer of II, m. 77°; 4-lutidylquinoline, m. 100°. 4-Quinolinecarboxaldehyde (0.2 g.) and 0.4 g. $MeC(NH_2):CHCO_2Et$, heated 2 h. at 100°, give 0.2 g. of the (4-quinolyl) isomer of I, m. 200°; (4-quinolyl) isomer of II, m. 122°; 4-lutidylquinoline, m. 122° (58% yield). Et 5-quinolinecarboxylate, b15 190-2°, m. 10°; the hydrazide m. 169° and the p-tolylsulfonyl derivative m. 200°; 25 g. of the last gives 1.5 g. of 5-quinolinecarboxaldehyde, m. 96°. The (5-quinolyl) isomer of I m. 201° (52% yield) and that of II m. 79°; 5-lutidylquinoline, m. 151° (54% yield) (picrate, yellow, m. 231-4°). Et 4-(p - nitrophenyl) - 2,6 - di-Me - 3,5 - pyridinedicarboxylate (IV), in 20 g. yield from 22 g. of the dihydro derivative and 2 N HNO_3, pale yellow, m. 115°; Sn and HCl give 95% of the NH_2 derivative, yellow, m. 145°; hydrolysis and purification through the Ag salt give the free acid, yellow, m. above 360°; heated with Cu powder in a high vacuum, it yields 4-(p-aminophenyl)-2,6-dimethylpyridine (V), m. 131°; 16 g. of the acid and 30 g. Cu gave 63% of V; in the Skraup reaction V gives 71% of 6-lutidylquinoline, b15 220-30°, m. 84° (picrate, m. 224-5°). Et 4-phenyl-2,6-dimethyl-3,5-pyridinedicarboxylate (85 g.), added slowly to 150 cc. concentrated H_2SO_4 at -20° and treated dropwise with 40 cc. HNO_3 (d. 1.4), gives 60% of IV. Et 6-quinolinecarboxylate (40 g.) gives 35 g. of the hydrazide, m. 188°, which forms a p-tolylsulfonyl derivative, m. 218° (decomposition); this gives 45% of 6-quinolinecarboxaldehyde, m. 72°; 0.2 g. yields 0.33 g. of the (6-quinolyl) isomer of I, m. 209°; the isomer of II m. 97°; the Ag salt yields 6-lutidylquinoline. The nitrosoacylamine from 5-acetamidoquinoline and 2,6-lutidine at 60° give, on fractionation of the picrates from AcOH, 6-(2,6-dimethyl-3-pyridyl)quinoline, m. 68° (picrate, yellow, m. 243° (decomposition begins at 230°)). (m-Aminophenyl)lutidine and $m\text{-}O_2NC_6H_4SO_3Na$ in the Skraup reaction give 7-lutidylquinoline, m. 120-2° (picrate, m. 223°), and the 5-isomer, m. 151° (picrate, m. 231-4°), separated by crystallization of the picrates from AcOH. Et 8-quinolinecarboxylate, b13 194-7°, m. 45°; the hydrazide, m. 99°, forms a p-tolylsulfonyl derivative, pale yellow, m. 187°; this yields 25% of 8-quinolinecarboxaldehyde; the (8-quinolyl) isomer of I, orange, m. 161°; the isomer of II m. 80°; 8-lutidylquinoline. m. 132°.

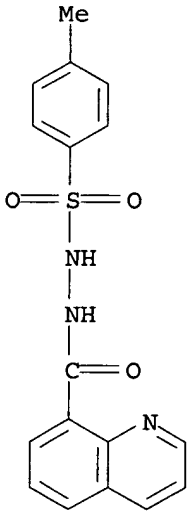
IT **406192-08-3**, Hydrazine, 1-[3-quinolylcarbonyl]-2-p-tolylsulfonyl-
858784-66-4, Hydrazine, 1-[8-quinolylcarbonyl]-2-p-tolylsulfonyl-
858784-67-5, Hydrazine, 1-[6-quinolylcarbonyl]-2-p-tolylsulfonyl-
858784-68-6, Hydrazine, 1-[5-quinolylcarbonyl]-2-p-tolylsulfonyl-
(preparation of)

RN 406192-08-3 CAPLUS

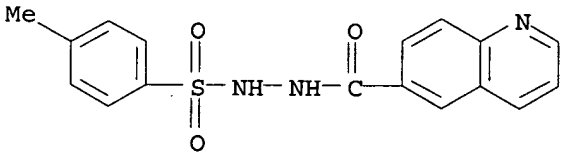
CN 3-Quinolinecarboxylic acid, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



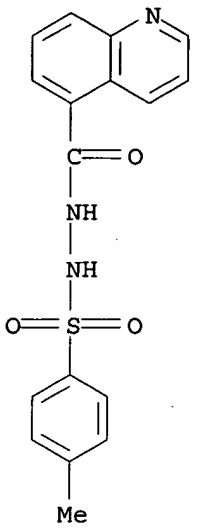
RN 858784-66-4 CAPLUS
CN Hydrazine, 1-[8-quinolylcarbonyl]-2-p-tolylsulfonyl- (4CI) (CA INDEX NAME)



RN 858784-67-5 CAPLUS
CN Hydrazine, 1-[6-quinolylcarbonyl]-2-p-tolylsulfonyl- (4CI) (CA INDEX NAME)



RN 858784-68-6 CAPLUS
CN Hydrazine, 1-[5-quinolylcarbonyl]-2-p-tolylsulfonyl- (4CI) (CA INDEX NAME)



L8 ANSWER 65 OF 65 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1936:39227 CAPLUS
DOCUMENT NUMBER: 30:39227
ORIGINAL REFERENCE NO.: 30:5196g-i,5197a
TITLE: New method for the conversion of acids into aldehydes
AUTHOR(S): McFadyen, John S.; Stevens, Thomas S.
SOURCE: Journal of the Chemical Society, Abstracts (1936) 584-7
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 30:39227

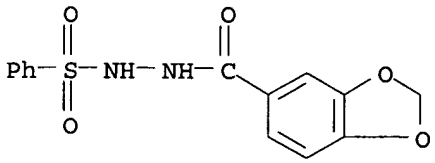
AB Aldehydes can be obtained by warming benzenesulfonylacylhydrazines with alkali: RCONHNHSO2Ph → PhSO2K + [RCON:NH] → RCHO + N2; the following yields are reported: benzoic 73-4, p-chlorobenzoic 77, o-hydroxybenzoic 42-55, p-methoxybenzoic 77, 3,4-methylenedioxybenzoic 87, m-nitrobenzoic 42%; p-nitrobenzoic, cinnamic, acetic, isobutyric and diphenylacetic, 0. This type of reaction can also be used in the replacement by H of a reactive halogen atom in the C6H6 ring. Me piperonylate (5 g.) and N2H4.H2O in EtOH give 4.6 g. piperonylhydrazine, m. 171-2°. The benzenesulfonylacylhydrazines were prepared by 1 of 2 methods: RCO2Et → RCONHNH2 (+PhSO2Cl) or RCOCl (+PhSO2NHNH2): o-hydroxybenzoyl, m. 161-2°; p-methoxybenzoyl, m. 187-9°; 3,4-methylenedioxybenzoyl, m. 166-8°; m-nitrobenzoyl, m. 222-3°; isobutyryl m. 156-8°; diphenylacetyl, m. 191-3°; 2,5-dichlorobenzenesulfonylacylhydrazines: benzoyl, m. 186-8°; p-chlorobenzoyl, m. 235-7°; o-hydroxybenzoyl, m. 229-30°. The decomposition was carried out in glycol; the quantity of solvent had little effect on the yield; Na2CO3 gave slightly better results than the K salt; the optimum temperature was about 160°; considerable excess of alkali was necessary. Benzensulfonyl-2',4'-dinitrophenylhydrazine, lemon-yellow, m. 196-8° (decomposition); decomposition with alkali gives 70% of m-C6H4(NO2)2; the 2',4',6'-tri-NO2 analog, lemon-yellow, m. 210-20° (decomposition); 9 g. gives 3 g. 1,3,5-C6H3(NO2)3.

IT **406190-94-1**, Hydrazine, α-(3,4-methylenedioxybenzoyl)-β-(phenylsulfonyl)-
(preparation of)

RN 406190-94-1 CAPLUS

CN 1,3-Benzodioxole-5-carboxylic acid, 2-(phenylsulfonyl)hydrazide (9CI) (CA

INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 13:54:03 ON 12 SEP 2005

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L2		STRUCTURE UPLOADED
L3	31	S L2
L4	1187319	S 3-5/NR AND 3-6/O AND 1-2/S AND 2-4/N
L5	29	S L1 SAM SUB=L4
L6	419	S L1 FULL SUB=L4

FILE 'CAPLUS' ENTERED AT 13:55:59 ON 12 SEP 2005

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L8	65	S L6

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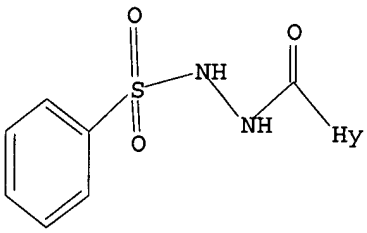
L2 STR



Structure attributes must be viewed using STN Express query preparation.

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